

10/4743,297

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STN AnaVist, now available  
NEWS 4 AUG 11 STN AnaVist workshops to be held in North America  
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NEWS 6 AUG 30 CASREACT - Enhanced with displayable reaction conditions  
NEWS 7 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY  
NEWS 8 SEP 22 MATHDI to be removed from STN

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:07:03 ON 26 SEP 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:07:11 ON 26 SEP 2005

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10/4743,297

provided by InfoChem.

STRUCTURE FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6  
DICTIONARY FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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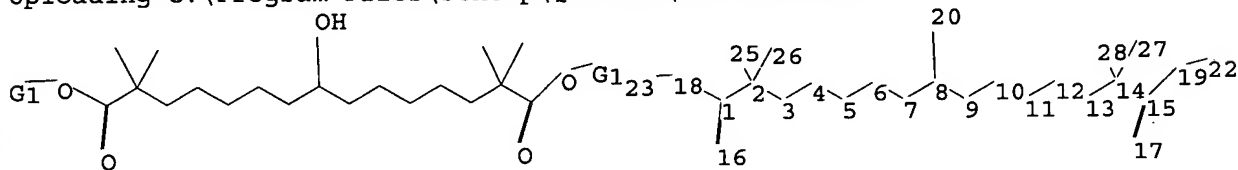
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10743287.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 22 23 25  
26 27 28

chain bonds :

1-2 1-16 1-18 2-3 2-25 2-26 3-4 4-5 5-6 6-7 7-8 8-9 8-20 9-10 10-11  
11-12 12-13 13-14 14-15 14-27 14-28 15-17 15-19 18-23 19-22

exact/norm bonds :

1-16 1-18 8-20 15-17 15-19 18-23 19-22

exact bonds :

1-2 2-3 2-25 2-26 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13  
13-14 14-15 14-27 14-28

G1:H,Ak

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS  
18:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS 25:CLASS 26:CLASS 27:CLASS  
28:CLASS

10/4743,297

L1           STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 17:07:29 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -       581 TO ITERATE

100.0% PROCESSED       581 ITERATIONS                   0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*  
                          BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:       10174 TO   13066  
PROJECTED ANSWERS:           0 TO       0

L2           0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 17:07:35 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED -   11481 TO ITERATE

100.0% PROCESSED   11481 ITERATIONS                   2 ANSWERS  
SEARCH TIME: 00.00.01

L3           2 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	161.54

FILE 'CAPLUS' ENTERED AT 17:07:41 ON 26 SEP 2005  
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FILE COVERS 1907 - 26 Sep 2005 VOL 143 ISS 14  
FILE LAST UPDATED: 25 Sep 2005 (20050925/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4           2 L3

=&gt; d l4 ibib hitstr abs 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:648491 CAPLUS  
 DOCUMENT NUMBER: 141:190505  
 TITLE: Preparation of hydroxyl compounds for cholesterol management and related uses  
 INVENTOR(S): Dasseux, Jean-Louis Henri; Oniciu, Daniela Carmen  
 PATENT ASSIGNEE(S): Esperion Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 348 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

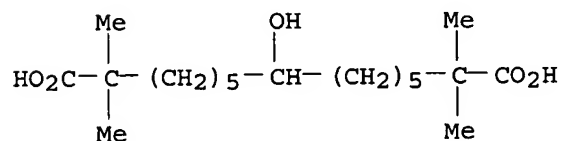
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067489	A2	20040812	WO 2003-US41411	20031223
WO 2004067489	A3	20041125		
WO 2004067489	C1	20050217		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004209847	A1	20041021	US 2003-743287	20031223
US 2004214887	A1	20041028	US 2003-743109	20031223
US 2005043278	A1	20050224	US 2003-743470	20031223
PRIORITY APPLN. INFO.:			US 2003-441795P	P 20030123

OTHER SOURCE(S): MARPAT 141:190505

IT 738606-46-7P, 8-Hydroxy-2,2,14,14-tetramethylpentadecanedioic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (anticholesteremic agent; preparation of hydroxyalkanes for cholesterol management and related uses)

RN 738606-46-7 CAPLUS

CN Pentadecanedioic acid, 8-hydroxy-2,2,14,14-tetramethyl- (9CI) (CA INDEX NAME)



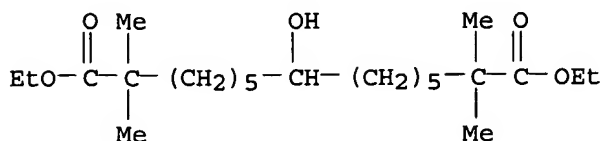
IT 738606-64-9, 8-Hydroxy-2,2,14,14-tetramethylpentadecanedioic acid diethyl ester

RL: RCT (Reactant); RACT (Reactant or reagent)

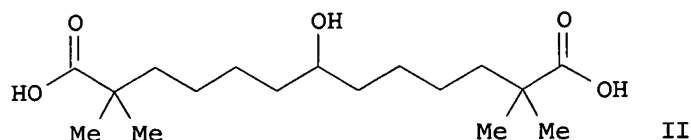
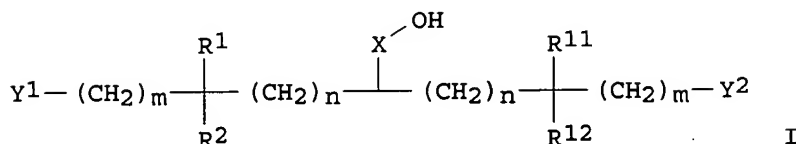
(preparation of hydroxyalkanes for cholesterol management and related uses)

RN 738606-64-9 CAPLUS

CN Pentadecanedioic acid, 8-hydroxy-2,2,14,14-tetramethyl-, diethyl ester  
(9CI) (CA INDEX NAME)



GI



AB Title hydroxyalkanes I [wherein m = 0-5; n = 3-7; X = (CH<sub>2</sub>)<sub>p</sub> or CH<sub>2</sub>; p = 0-4; R<sub>1</sub>, R<sub>2</sub>, R<sub>11</sub>, R<sub>12</sub> = independently H, alkyl, alkenyl, alkynyl, Ph, PhCH<sub>2</sub>, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>11</sub>, and R<sub>12</sub> are not simultaneously H; Y<sub>1</sub>, Y<sub>2</sub> = independently alkyl, OH, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>3</sub>, SO<sub>3</sub>H, (un)substituted heterocyclyl, (di)phosphate and triphosphate esters, etc.; R<sub>3</sub> = (un)substituted alkyl, alkenyl, alkynyl, Ph, PhCH<sub>2</sub>; and pharmaceutically acceptable salts, hydrates, solvates, or mixts. thereof] were prepared for cholesterol management. Thus, reduction of 7-oxo-2,2,12,12-tetramethyltridecanedioic acid di-Et ester with Na(BH<sub>4</sub>) in MeOH gave 7-hydroxy-2,2,12,12-tetramethyltridecanedioic acid di-Et ester (92%), which was saponified with KOH in EtOH to afford the diacid II (95%). The latter inhibited lipid synthesis in primary rat hepatocytes with IC<sub>50</sub> of 3.4 μM. In addition, the present invention relates to I, compns. comprising I, and methods useful for treating and preventing a variety of diseases and conditions such as, but not limited to aging, Alzheimer's disease, cancer, cardiovascular disease, diabetic nephropathy, diabetic retinopathy, a disorder of glucose metabolism, dyslipidemia, dyslipoproteinemia, hypertension, impotence, inflammation, insulin resistance, lipid elimination in bile, obesity, oxysterol elimination in bile, pancreatitis, Parkinson's disease, a peroxisome proliferator activated receptor-associated disorder, phospholipid elimination in bile, renal disease, septicemia, metabolic syndrome disorders (e.g., Syndrome X), thrombotic disorder. Compds. and methods of the invention can also be used to modulate C reactive protein or enhance bile production in a patient (no data). In certain embodiments, I, compns. comprising I, and methods of the invention are useful in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:518921 CAPLUS

DOCUMENT NUMBER: 141:236191

TITLE: Effects of a novel dual lipid synthesis inhibitor and

its potential utility in treating dyslipidemia and metabolic syndrome

AUTHOR(S): Cramer, Clay T.; Goetz, Brian; Hopson, Krista L. M.; Fici, Gregory J.; Ackermann, Rose M.; Brown, Stephen C.; Bisgaier, Charles L.; Rajeswaran, W. G.; Oniciu, Daniela C.; Pape, Michael E.

CORPORATE SOURCE: Esperion Therapeutics, Inc., Ann Arbor, MI, 48108, USA

SOURCE: Journal of Lipid Research (2004), 45(7), 1289-1301

CODEN: JLPRAW; ISSN: 0022-2275

PUBLISHER: American Society for Biochemistry and Molecular Biology, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

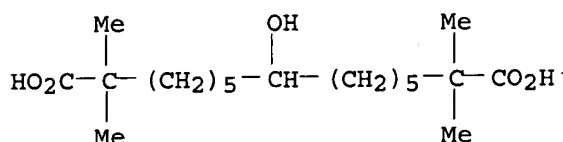
IT 738606-46-7, ESP 55016

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effects of lipid synthesis inhibitor and its potential utility in treating dyslipidemia and metabolic syndrome)

RN 738606-46-7 CAPLUS

CN Pentadecanedioic acid, 8-hydroxy-2,2,14,14-tetramethyl- (9CI) (CA INDEX NAME).



AB We have identified a novel  $\omega$ -hydroxy-alkane dicarboxylic acid, ESP 55016, that favorably alters serum lipid variables in obese female Zucker (fa/fa) rats. ESP 55016 reduced serum non-HDL-cholesterol (non-HDL-C), triglyceride, and nonesterified fatty acid levels while increasing serum HDL-C and  $\beta$ -hydroxybutyrate levels in a dose-dependent manner. ESP 55016 reduced fasting serum insulin and glucose levels while also suppressing weight gain. In primary rat hepatocytes, ESP 55016 increased the oxidation of [14C]palmitate in a dose- and carnitine palmitoyl transferase-I (CPT-I)-dependent manner. Furthermore, in primary rat hepatocytes and in vivo, ESP 55016 inhibited fatty acid and sterol synthesis. The "dual inhibitor" activity of ESP 55016 was unlikely attributable to the activation of the AMP-activated protein kinase (AMPK) pathway because AMPK and acetyl-CoA carboxylase (ACC) phosphorylation states as well as ACC activity were not altered by ESP 55016. Further studies indicated the conversion of ESP 55016 to a CoA derivative in vivo. ESP 55016-CoA markedly inhibited the activity of partially purified ACC. The activity of partially purified HMG-CoA reductase was not altered by the xenobiotic-CoA. These data suggest that ESP 55016-CoA favorably alters lipid metabolism in a model of diabetic dyslipidemia in part by initially inhibiting fatty acid and sterol synthesis plus enhancing the oxidation of fatty acids through the ACC/malonyl-CoA/CPT-I regulatory axis.

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE

ENTRY

11.23

TOTAL

SESSION

172.77

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-1.46

-1.46

FILE 'REGISTRY' ENTERED AT 17:09:40 ON 26 SEP 2005

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STRUCTURE FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

DICTIONARY FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

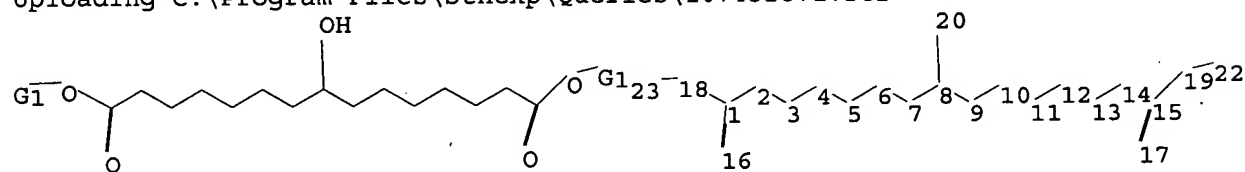
Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\107432871.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 22 23

chain bonds :

1-2 1-16 1-18 2-3 3-4 4-5 5-6 6-7 7-8 8-9 8-20 9-10 10-11 11-12 12-13  
13-14 14-15 15-17 15-19 18-23 19-22

exact/norm bonds :

1-16 1-18 8-20 15-17 15-19 18-23 19-22

exact bonds :

10/4743,297

1-2 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13 13-14 14-15

G1:H,Ak

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS  
18:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS

L5 STRUCTURE UPLOADED

=> s l5

SAMPLE SEARCH INITIATED 17:09:56 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 12887 TO ITERATE

15.5% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 250940 TO 264540  
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l5 ful

FULL SEARCH INITIATED 17:10:03 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 254675 TO ITERATE

98.2% PROCESSED 250033 ITERATIONS 5 ANSWERS  
100.0% PROCESSED 254675 ITERATIONS 6 ANSWERS  
SEARCH TIME: 00.00.18

L7 6 SEA SSS FUL L5

=> d l7 ibib hitstr abs 1-6

'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'  
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'  
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN  
SAM - Index Name, MF, and structure - no RN  
FIDE - All substance data, except sequence data  
IDE - FIDE, but only 50 names  
SQIDE - IDE, plus sequence data  
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used  
SQD - Protein sequence data, includes RN  
SQD3 - Same as SQD, but 3-letter amino acid codes are used

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SQN - Protein sequence name information, includes RN

CALC - Table of calculated properties

EPROP - Table of experimental properties

PROP - EPROP and CALC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract

APPS -- Application and Priority Information

BIB -- CA Accession Number, plus Bibliographic Data

CAN -- CA Accession Number

CBIB -- CA Accession Number, plus Bibliographic Data (compressed)

IND -- Index Data

IPC -- International Patent Classification

PATS -- PI, SO

STD -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels

IBIB -- BIB, indented, with text labels

ISTD -- STD format, indented

OBIB ----- AN, plus Bibliographic Data (original)

OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations

SIBIB ----- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.

The MAX format is the same as ALL.

The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.

HELP FORMATS -- To see detailed descriptions of the predefined formats.

ENTER DISPLAY FORMAT (IDE):end

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
161.76	334.53

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-1.46

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 17:10:59 ON 26 SEP 2005

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FILE COVERS 1907 - 26 Sep 2005 VOL 143 ISS 14  
FILE LAST UPDATED: 25 Sep 2005 (20050925/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 17:07:03 ON 26 SEP 2005)

FILE 'REGISTRY' ENTERED AT 17:07:11 ON 26 SEP 2005

L1 STRUCTURE UPLOADED  
L2 0 S L1  
L3 2 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:07:41 ON 26 SEP 2005

L4 2 S L3

FILE 'REGISTRY' ENTERED AT 17:09:40 ON 26 SEP 2005

L5 STRUCTURE UPLOADED  
L6 0 S L5  
L7 6 S L5 FUL

FILE 'CAPLUS' ENTERED AT 17:10:59 ON 26 SEP 2005

=> s 17

L8 5 L7

=> d l8 ibib hitstr abs 1-5

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:411319 CAPLUS

DOCUMENT NUMBER: 143:97083

TITLE: Organozinc alkoxide-promoted aldol-Tishchenko reaction of aliphatic aldehydes: an expedient entry to prepare the  $\alpha$ -methylene ketones

AUTHOR(S): Hon, Yung-Son; Chang, Chun-Ping

CORPORATE SOURCE: Department of Chemistry and Biochemistry, National Chung Cheng University, Taipei, 621, Peop. Rep. China

SOURCE: Tetrahedron (2005), 61(22), 5267-5275

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 856895-80-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

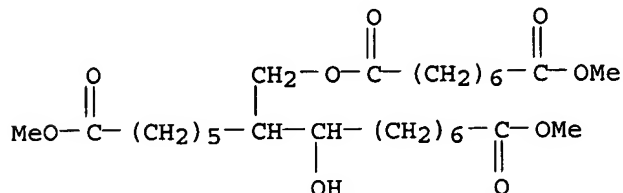
(preparation of  $\alpha$ -methylene ketones via organozinc alkoxide-promoted aldol-Tishchenko reaction of aliphatic aldehydes and subsequent oxidation

and

elimination)

RN 856895-80-2 CAPLUS

CN Pentadecanedioic acid, 8-hydroxy-7-[[[(8-methoxy-1,8-dioxooctyl)oxy]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



AB I-PrOZnEt is an excellent reagent to promote the aldol-Tishchenko reaction of the aliphatic aldehydes tethered with other labile functional groups. The 1,3-diol monoesters were formed as the major products, which could be converted to  $\alpha$ -methylene ketones in two steps in good yields. E.g., reaction of BnCH<sub>2</sub>CHO in presence of i-PrOZnEt gave BnCH<sub>2</sub>CH(OH)CHBnCH<sub>2</sub>O<sub>2</sub>CCH<sub>2</sub>Bn as the major product and BnCH<sub>2</sub>CH(O<sub>2</sub>CCH<sub>2</sub>Bn)CHBnCH<sub>2</sub>OH as the minor product. Oxidation of the mixture of products by PCC gave BnCH<sub>2</sub>COCHCH<sub>2</sub>O<sub>2</sub>CCH<sub>2</sub>Bn as the major product. Treatment of this ketone by DBU led to the  $\alpha$ -methylene ketone BnCH<sub>2</sub>COCBn:CH<sub>2</sub>.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:648491 CAPLUS

DOCUMENT NUMBER: 141:190505

TITLE: Preparation of hydroxyl compounds for cholesterol management and related uses

INVENTOR(S): Dasseux, Jean-Louis Henri; Oniciu, Daniela Carmen

PATENT ASSIGNEE(S): Esperion Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 348 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067489	A2	20040812	WO 2003-US41411	20031223
WO 2004067489	A3	20041125		
WO 2004067489	C1	20050217		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004209847	A1	20041021	US 2003-743287	20031223
US 2004214887	A1	20041028	US 2003-743109	20031223
US 2005043278	A1	20050224	US 2003-743470	20031223
PRIORITY APPLN. INFO.:			US 2003-441795P	P 20030123

10/4743,297

OTHER SOURCE(S): MARPAT 141:190505

IT 738606-46-7P, 8-Hydroxy-2,2,14,14-tetramethylpentadecanedioic acid

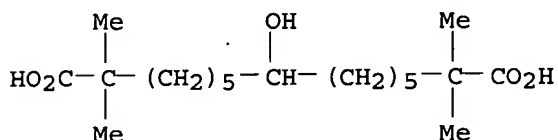
738606-61-6P, 8-Hydroxy-2,2,12,12-tetramethylpentadecanedioic acid diethyl ester

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anticholesteremic agent; preparation of hydroxyalkanes for cholesterol management and related uses)

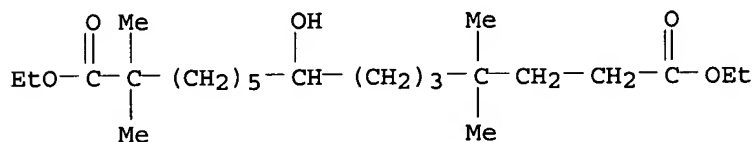
RN 738606-46-7 CAPLUS

CN Pentadecanedioic acid, 8-hydroxy-2,2,14,14-tetramethyl- (9CI) (CA INDEX NAME)



RN 738606-61-6 CAPLUS

CN Pentadecanedioic acid, 8-hydroxy-2,2,12,12-tetramethyl-, diethyl ester (9CI) (CA INDEX NAME)



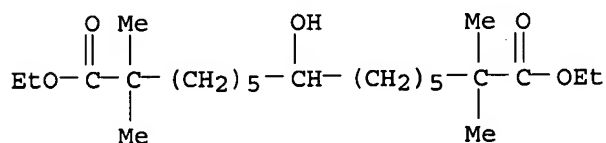
IT 738606-64-9, 8-Hydroxy-2,2,14,14-tetramethylpentadecanedioic acid diethyl ester

RL: RCT (Reactant); RACT (Reactant or reagent)

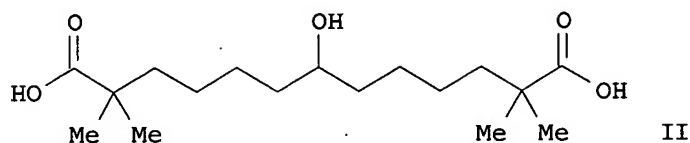
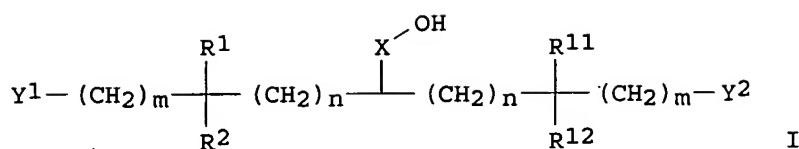
(preparation of hydroxyalkanes for cholesterol management and related uses)

RN 738606-64-9 CAPLUS

CN Pentadecanedioic acid, 8-hydroxy-2,2,14,14-tetramethyl-, diethyl ester (9CI) (CA INDEX NAME)



GI



AB Title hydroxyalkanes I [wherein  $m = 0-5$ ;  $n = 3-7$ ;  $X = (CH_2)_p$  or  $CH_2$ ;  $p = 0-4$ ;  $R^1$ ,  $R^2$ ,  $R^{11}$ ,  $R^{12}$  = independently H, alkyl, alkenyl, alkynyl, Ph,  $PhCH_2$ , wherein  $R^1$ ,  $R^2$ ,  $R^{11}$ , and  $R^{12}$  are not simultaneously H;  $Y^1$ ,  $Y^2$  = independently alkyl, OH,  $CO_2H$ ,  $CO_2R^3$ ,  $SO_3H$ , (un)substituted heterocyclyl, (di)phosphate and triphosphate esters, etc.;  $R^3$  = (un)substituted alkyl, alkenyl, alkynyl, Ph,  $PhCH_2$ ; and pharmaceutically acceptable salts, hydrates, solvates, or mixts. thereof] were prepared for cholesterol management. Thus, reduction of 7-oxo-2,2,12,12-tetramethyltridecanedioic acid di-Et ester with  $Na(BH_4)$  in MeOH gave 7-hydroxy-2,2,12,12-tetramethyltridecanedioic acid di-Et ester (92%), which was saponified with KOH in EtOH to afford the diacid II (95%). The latter inhibited lipid synthesis in primary rat hepatocytes with  $IC_{50}$  of 3.4  $\mu M$ . In addition, the present invention relates to I, compns. comprising I, and methods useful for treating and preventing a variety of diseases and conditions such as, but not limited to aging, Alzheimer's disease, cancer, cardiovascular disease, diabetic nephropathy, diabetic retinopathy, a disorder of glucose metabolism, dyslipidemia, dyslipoproteinemia, hypertension, impotence, inflammation, insulin resistance, lipid elimination in bile, obesity, oxysterol elimination in bile, pancreatitis, Parkinson's disease, a peroxisome proliferator activated receptor-associated disorder, phospholipid elimination in bile, renal disease, septicemia, metabolic syndrome disorders (e.g., Syndrome X), thrombotic disorder. Compds. and methods of the invention can also be used to modulate C reactive protein or enhance bile production in a patient (no data). In certain embodiments, I, compns. comprising I, and methods of the invention are useful in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents.

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:518921 CAPLUS

DOCUMENT NUMBER: 141:236191

TITLE: Effects of a novel dual lipid synthesis inhibitor and its potential utility in treating dyslipidemia and metabolic syndrome

AUTHOR(S): Cramer, Clay T.; Goetz, Brian; Hopson, Krista L. M.; Fici, Gregory J.; Ackermann, Rose M.; Brown, Stephen C.; Bisgaier, Charles L.; Rajeswaran, W. G.; Oniciu, Daniela C.; Pape, Michael E.

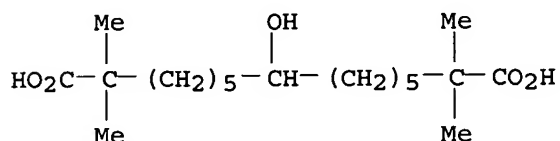
CORPORATE SOURCE: Esperion Therapeutics, Inc., Ann Arbor, MI, 48108, USA  
SOURCE: Journal of Lipid Research (2004), 45(7), 1289-1301  
CODEN: JLPRAW; ISSN: 0022-2275

PUBLISHER: American Society for Biochemistry and Molecular Biology, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 738606-46-7, ESP 55016  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (effects of lipid synthesis inhibitor and its potential utility in  
 treating dyslipidemia and metabolic syndrome)  
 RN 738606-46-7 CAPLUS  
 CN Pentadecanedioic acid, 8-hydroxy-2,2,14,14-tetramethyl- (9CI) (CA INDEX  
 NAME)

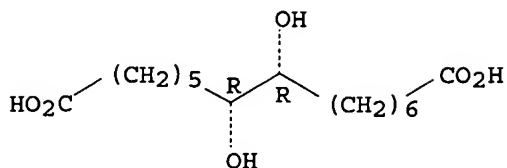


AB We have identified a novel  $\omega$ -hydroxy-alkane dicarboxylic acid, ESP 55016, that favorably alters serum lipid variables in obese female Zucker (fa/fa) rats. ESP 55016 reduced serum non-HDL-cholesterol (non-HDL-C), triglyceride, and nonesterified fatty acid levels while increasing serum HDL-C and  $\beta$ -hydroxybutyrate levels in a dose-dependent manner. ESP 55016 reduced fasting serum insulin and glucose levels while also suppressing weight gain. In primary rat hepatocytes, ESP 55016 increased the oxidation of [14C]palmitate in a dose- and carnitine palmitoyl transferase-I (CPT-I)-dependent manner. Furthermore, in primary rat hepatocytes and in vivo, ESP 55016 inhibited fatty acid and sterol synthesis. The "dual inhibitor" activity of ESP 55016 was unlikely attributable to the activation of the AMP-activated protein kinase (AMPK) pathway because AMPK and acetyl-CoA carboxylase (ACC) phosphorylation states as well as ACC activity were not altered by ESP 55016. Further studies indicated the conversion of ESP 55016 to a CoA derivative in vivo. ESP 55016-CoA markedly inhibited the activity of partially purified ACC. The activity of partially purified HMG-CoA reductase was not altered by the xenobiotic-CoA. These data suggest that ESP 55016-CoA favorably alters lipid metabolism in a model of diabetic dyslipidemia in part by initially inhibiting fatty acid and sterol synthesis plus enhancing the oxidation of fatty acids through the ACC/malonyl-CoA/CPT-I regulatory axis.

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1987:196107 CAPLUS  
 DOCUMENT NUMBER: 106:196107  
 TITLE: Enamine condensation on derivatives of aleuritic acid  
 and synthesis of (Z)-9-tricosene (muscalure), its  
 (E)-isomer, and (E)-13-heptacosene  
 AUTHOR(S): Subramanian, G. B. V.; Mehrotra, Alka; Mehrotra,  
 Kalpana  
 CORPORATE SOURCE: Dep. Chem., Univ. Delhi, Delhi, 110007, India  
 SOURCE: Tetrahedron (1986), 42(14), 3967-72  
 CODEN: TETRAB; ISSN: 0040-4020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 106:196107  
 IT 93416-11-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediate in synthesis of heptacosene)  
 RN 93416-11-6 CAPLUS  
 CN Pentadecanedioic acid, 7,8-dihydroxy-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



AB Enamine condensation on (9RS,10RS)-9,10,16-triacetoxylhexadecanoyl chloride as well as (7RS,8RS)-7,8-diacetoxy-1,15-pentadecadiol chloride using 1-morpholino-1-cyclohexene led to chain elongated products with 22 and 27 carbon atoms resp. The 22 carbon product was converted into (Z)-9-tricosene and its E-isomer, while the 27 carbon product led to a synthesis of (E)-13-heptacosene.

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1985:5642 CAPLUS

DOCUMENT NUMBER: 102:5642

TITLE: Preparation of some hydroxypentadecane derivatives from threo-aleuritic acid

AUTHOR(S): Subramanian, G. B. V.; Mehrotra, Kalpana

CORPORATE SOURCE: Dep. Chem., Univ. Delhi, Delhi, 110 007, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(4), 384-5

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

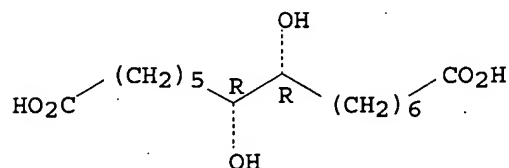
IT 93416-11-6P 93416-12-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 93416-11-6 CAPLUS

CN Pentadecanedioic acid, 7,8-dihydroxy-, (R\*,R\*)- (9CI) (CA INDEX NAME)

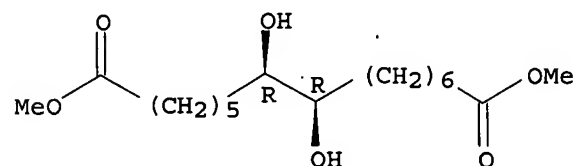
Relative stereochemistry.



RN 93416-12-7 CAPLUS

CN Pentadecanedioic acid, 7,8-dihydroxy-, dimethyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



10/4743,297

AB The triacetate of threo-aleuritic acid (9,10,16-trihydroxypalmitic acid), on treatment with Pb(OAc)<sub>4</sub> and iodine in the presence of light followed by deacetylation gave R(CH<sub>2</sub>)<sub>5</sub>CH(OH)CH(OH)(CH<sub>2</sub>)<sub>6</sub>R<sub>1</sub> (I; R = CH<sub>2</sub>OH, R<sub>1</sub> = CH<sub>2</sub>I) (II). Acetoxylation and hydrolysis of II gave I (R = R<sub>1</sub> = CH<sub>2</sub>OH). II was reduced to give I (R = CH<sub>2</sub>OH, R<sub>1</sub> = Me). Oxidation of protected I (R = CH<sub>2</sub>OH; R<sub>1</sub> = CH<sub>2</sub>I, CH<sub>2</sub>OH, Me) gave the acids I (R = CO<sub>2</sub>H; R<sub>1</sub> = CH<sub>2</sub>I, CO<sub>2</sub>H, Me), which were methylated to the resp. esters.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	27.85	362.38
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-5.11

FILE 'REGISTRY' ENTERED AT 17:15:17 ON 26 SEP 2005  
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STRUCTURE FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6  
DICTIONARY FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

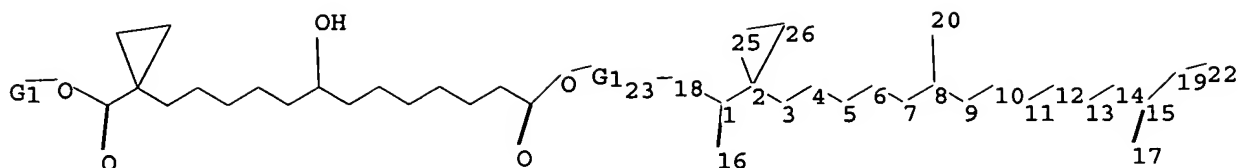
Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\107432872.str

10/4743,297



chain nodes :

1 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 22 23

ring nodes :

2 25 26

chain bonds :

1-2 1-16 1-18 2-3 3-4 4-5 5-6 6-7 7-8 8-9 8-20 9-10 10-11 11-12 12-13  
13-14 14-15 15-17 15-19 18-23 19-22

ring bonds :

2-25 2-26 25-26

exact/norm bonds :

1-16 1-18 2-25 2-26 8-20 15-17 15-19 18-23 19-22 25-26

exact bonds :

1-2 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13 13-14 14-15

G1:H,Ak

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS  
18:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS 25:Atom 26:Atom

L9 STRUCTURE UPLOADED

=> s 19

SAMPLE SEARCH INITIATED 17:15:34 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 449 TO ITERATE

100.0% PROCESSED 449 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 7709 TO 10251

PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

=> s 19 ful

FULL SEARCH INITIATED 17:15:39 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8839 TO ITERATE

100.0% PROCESSED 8839 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

10/4743,297

L11 1 SEA SSS FUL L9

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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523.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-5.11

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FILE COVERS 1907 - 26 Sep 2005 VOL 143 ISS 14

FILE LAST UPDATED: 25 Sep 2005 (20050925/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L12 1 L11

=> d l12 ibib hitstr abs

L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:648491 CAPLUS

DOCUMENT NUMBER: 141:190505

TITLE: Preparation of hydroxyl compounds for cholesterol management and related uses

INVENTOR(S): Dasseux, Jean-Louis Henri; Oniciu, Daniela Carmen

PATENT ASSIGNEE(S): Esperion Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 348 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067489	A2	20040812	WO 2003-US41411	20031223
WO 2004067489	A3	20041125		
WO 2004067489	C1	20050217		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,  
 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,  
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004209847 A1 20041021 US 2003-743287 20031223  
 US 2004214887 A1 20041028 US 2003-743109 20031223  
 US 2005043278 A1 20050224 US 2003-743470 20031223

PRIORITY APPLN. INFO.: US 2003-441795P P 20030123

OTHER SOURCE(S): MARPAT 141:190505

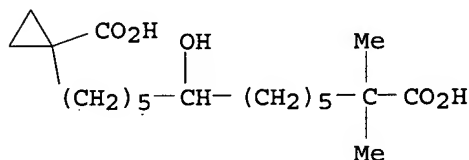
IT 738607-05-1P, 13-(1-Carboxycyclopropyl)-8-hydroxy-2,2-dimethyltridecanoic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

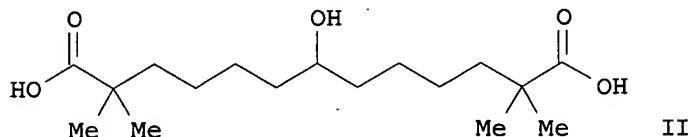
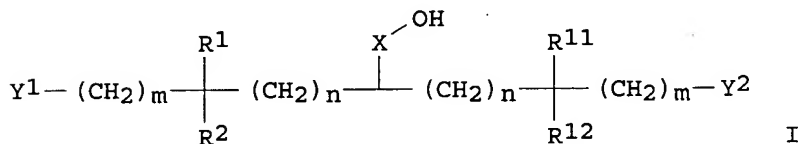
(anticholesteremic agent; preparation of hydroxyalkanes for cholesterol management and related uses)

RN 738607-05-1 CAPLUS

CN Cyclopropanetricecanoic acid, 1-carboxy-η-hydroxy-α,α-dimethyl- (9CI) (CA INDEX NAME)



GI



AB Title hydroxyalkanes I [wherein m = 0-5; n = 3-7; X = (CH2)p or CH2; p = 0-4; R1, R2, R11, R12 = independently H, alkyl, alkenyl, alkynyl, Ph, PhCH2, wherein R1, R2, R11, and R12 are not simultaneously H; Y1, Y2 = independently alkyl, OH, CO2H, CO2R3, SO3H, (un)substituted heterocyclyl, (di)phosphate and triphosphate esters, etc.; R3 = (un)substituted alkyl, alkenyl, alkynyl, Ph, PhCH2; and pharmaceutically acceptable salts, hydrates, solvates, or mixts. thereof] were prepared for cholesterol management. Thus, reduction of 7-oxo-2,2,12,12-tetramethyltridecanedioic acid di-Et ester with Na(BH4) in MeOH gave 7-hydroxy-2,2,12,12-

tetramethyltridecanedioic acid di-Et ester (92%), which was saponified with KOH in EtOH to afford the diacid II (95%). The latter inhibited lipid synthesis in primary rat hepatocytes with IC50 of 3.4  $\mu$ M. In addition, the present invention relates to I, compns. comprising I, and methods useful for treating and preventing a variety of diseases and conditions such as, but not limited to aging, Alzheimer's disease, cancer, cardiovascular disease, diabetic nephropathy, diabetic retinopathy, a disorder of glucose metabolism, dyslipidemia, dyslipoproteinemia, hypertension, impotence, inflammation, insulin resistance, lipid elimination in bile, obesity, oxysterol elimination in bile, pancreatitis, Parkinson's disease, a peroxisome proliferator activated receptor-associated disorder, phospholipid elimination in bile, renal disease, septicemia, metabolic syndrome disorders (e.g., Syndrome X), thrombotic disorder. Compds. and methods of the invention can also be used to modulate C reactive protein or enhance bile production in a patient (no data). In certain embodiments, I, compns. comprising I, and methods of the invention are useful in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.29	530.00
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.73	-5.84

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STRUCTURE FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6  
 DICTIONARY FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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\*\*\*\*\*  
 \*  
 \* The CA roles and document type information have been removed from \*  
 \* the IDE default display format and the ED field has been added, \*  
 \* effective March 20, 2005. A new display format, IDERL, is now \*  
 \* available and contains the CA role and document type information. \*  
 \*  
 \*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

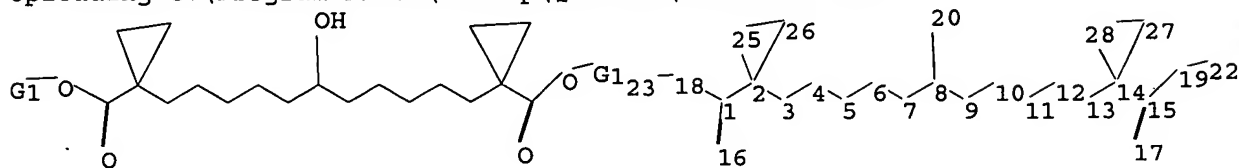
Experimental and calculated property data are now available. For more

10/4743,297

information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

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chain nodes :

1 3 4 5 6 7 8 9 10 11 12 13 15 16 17 18 19 20 22 23

ring nodes :

2 14 25 26 27 28

chain bonds :

1-2 1-16 1-18 2-3 3-4 4-5 5-6 6-7 7-8 8-9 8-20 9-10 10-11 11-12 12-13  
13-14 14-15 15-17 15-19 18-23 19-22

ring bonds :

2-25 2-26 14-27 14-28 25-26 27-28

exact/norm bonds :

1-16 1-18 2-25 2-26 8-20 14-27 14-28 15-17 15-19 18-23 19-22 25-26  
27-28

exact bonds :

1-2 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13 13-14 14-15

G1:H,Ak

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS  
18:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS 25:Atom 26:Atom 27:Atom  
28:Atom

L13 STRUCTURE UPLOADED

=> s l13

SAMPLE SEARCH INITIATED 17:18:01 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 230 TO ITERATE

100.0% PROCESSED 230 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3691 TO 5509  
PROJECTED ANSWERS: 0 TO 0

L14 0 SEA SSS SAM L13

10/4743,297

=> s l13 ful

FULL SEARCH INITIATED 17:18:07 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 4730 TO ITERATE

100.0% PROCESSED 4730 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.01

L15 2 SEA SSS FUL L13

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	691.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.84

FILE 'CAPLUS' ENTERED AT 17:18:14 ON 26 SEP 2005  
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FILE COVERS 1907 - 26 Sep 2005 VOL 143 ISS 14  
FILE LAST UPDATED: 25 Sep 2005 (20050925/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l15

L16 1 L15

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.70	694.03

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.84

FILE 'REGISTRY' ENTERED AT 17:21:45 ON 26 SEP 2005  
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10/4743,297

provided by InfoChem.

STRUCTURE FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6  
DICTIONARY FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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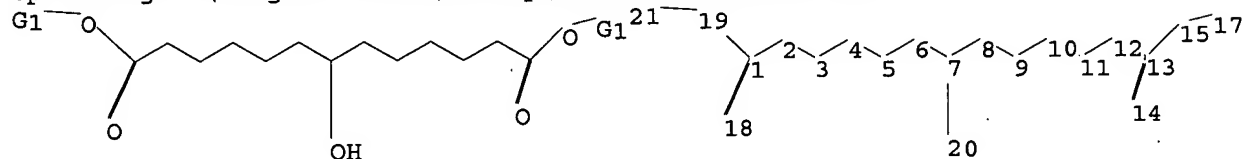
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*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
```

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\107432974.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 17 18 19 20 21

chain bonds :

1-2 1-18 1-19 2-3 3-4 4-5 5-6 6-7 7-8 7-20 8-9 9-10 10-11 11-12 12-13  
13-14 13-15 15-17 19-21

exact/norm bonds :

1-18 1-19 7-20 13-14 13-15 15-17 19-21

exact bonds :

1-2 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13

G1:H,Ak

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS  
19:CLASS 20:CLASS 21:CLASS

10/4743,297

L17        STRUCTURE UPLOADED

=> s l17

SAMPLE SEARCH INITIATED 17:22:01 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED -        12887 TO ITERATE

15.5% PROCESSED        2000 ITERATIONS        0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                              BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        250940 TO    264540  
PROJECTED ANSWERS:            0 TO        0

L18                0 SEA SSS SAM L17

=> s l17 ful

FULL SEARCH INITIATED 17:22:09 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED -        254675 TO ITERATE

98.1% PROCESSED        249891 ITERATIONS        5 ANSWERS  
100.0% PROCESSED        254675 ITERATIONS        6 ANSWERS  
SEARCH TIME: 00.00.19

L19                6 SEA SSS FUL L17

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	855.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.84

FILE 'CAPLUS' ENTERED AT 17:22:34 ON 26 SEP 2005  
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FILE COVERS 1907 - 26 Sep 2005    VOL 143 ISS 14  
FILE LAST UPDATED: 25 Sep 2005    (20050925/ED)

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=> s 119

L20 3 L19

=> d l20 ibib hitstr abs 1-3

L20 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:648491 CAPLUS

DOCUMENT NUMBER: 141:190505

TITLE: Preparation of hydroxyl compounds for cholesterol management and related uses

INVENTOR(S): Dasseux, Jean-Louis Henri; Oniciu, Daniela Carmen

PATENT ASSIGNEE(S): Esperion Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 348 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067489	A2	20040812	WO 2003-US41411	20031223
WO 2004067489	A3	20041125		
WO 2004067489	C1	20050217		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004209847	A1	20041021	US 2003-743287	20031223
US 2004214887	A1	20041028	US 2003-743109	20031223
US 2005043278	A1	20050224	US 2003-743470	20031223
PRIORITY APPLN. INFO.:			US 2003-441795P	P 20030123

OTHER SOURCE(S): MARPAT 141:190505

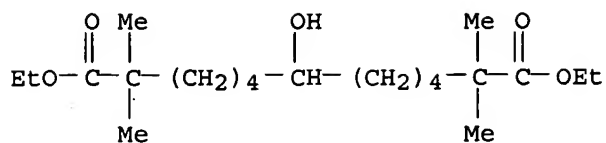
IT 738606-33-2P, 7-Hydroxy-2,2,12,12-tetramethyltridecanedioic acid diethyl ester

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(anticholesteremic agent; preparation of hydroxyalkanes for cholesterol management and related uses)

RN 738606-33-2 CAPLUS

CN Tridecanedioic acid, 7-hydroxy-2,2,12,12-tetramethyl-, diethyl ester (9CI) (CA INDEX NAME)

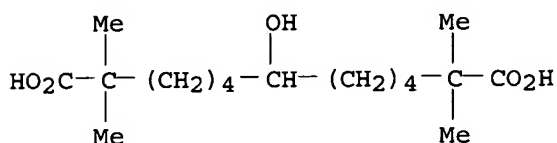


IT 738606-34-3P, 7-Hydroxy-2,2,12,12-tetramethyltridecanedioic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

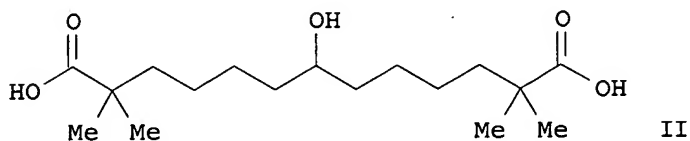
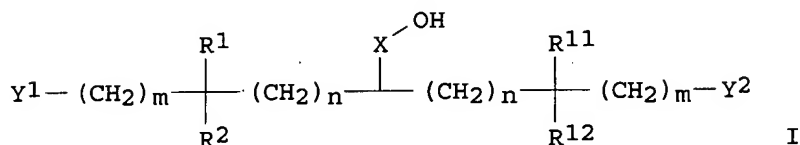
(anticholesteremic agent; preparation of hydroxyalkanes for cholesterol management and related uses)

RN 738606-34-3 CAPLUS

CN Tridecanedioic acid, 7-hydroxy-2,2,12,12-tetramethyl- (9CI) (CA INDEX NAME)



GI



AB Title hydroxyalkanes I [wherein m = 0-5; n = 3-7; X = (CH<sub>2</sub>)<sub>p</sub> or CH<sub>2</sub>; p = 0-4; R<sub>1</sub>, R<sub>2</sub>, R<sub>11</sub>, R<sub>12</sub> = independently H, alkyl, alkenyl, alkynyl, Ph, PhCH<sub>2</sub>, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>11</sub>, and R<sub>12</sub> are not simultaneously H; Y<sub>1</sub>, Y<sub>2</sub> = independently alkyl, OH, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>3</sub>, SO<sub>3</sub>H, (un)substituted heterocyclyl, (di)phosphate and triphosphate esters, etc.; R<sub>3</sub> = (un)substituted alkyl, alkenyl, alkynyl, Ph, PhCH<sub>2</sub>; and pharmaceutically acceptable salts, hydrates, solvates, or mixts. thereof] were prepared for cholesterol management. Thus, reduction of 7-oxo-2,2,12,12-tetramethyltridecanedioic acid di-Et ester with Na(BH<sub>4</sub>) in MeOH gave 7-hydroxy-2,2,12,12-tetramethyltridecanedioic acid di-Et ester (92%), which was saponified with KOH in EtOH to afford the diacid II (95%). The latter inhibited lipid synthesis in primary rat hepatocytes with IC<sub>50</sub> of 3.4 μM. In addition, the present invention relates to I, compns. comprising I, and methods useful for treating and preventing a variety of diseases and conditions such as, but not limited to aging, Alzheimer's disease, cancer, cardiovascular disease, diabetic nephropathy, diabetic retinopathy, a disorder of glucose metabolism, dyslipidemia, dyslipoproteinemia,

hypertension, impotence, inflammation, insulin resistance, lipid elimination in bile, obesity, oxysterol elimination in bile, pancreatitis, Parkinson's disease, a peroxisome proliferator activated receptor-associated disorder, phospholipid elimination in bile, renal disease, septicemia, metabolic syndrome disorders (e.g., Syndrome X), thrombotic disorder. Compds. and methods of the invention can also be used to modulate C reactive protein or enhance bile production in a patient (no data). In certain embodiments, I, compns. comprising I, and methods of the invention are useful in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents.

L20 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:496416 CAPLUS

DOCUMENT NUMBER: 107:96416

TITLE: A synthesis of polyoxygenated polycyclic aromatic compounds via polyketides

AUTHOR(S): Yamaguchi, Masahiko; Hasebe, Koichi; Shibato, Keisuke; Nakashima, Hisataka; Minami, Toru

CORPORATE SOURCE: Dep. Ind. Chem., Kyushu Inst. Technol., Japan

SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1986), 28th, 627-34  
CODEN: TYKYDS

DOCUMENT TYPE: Journal

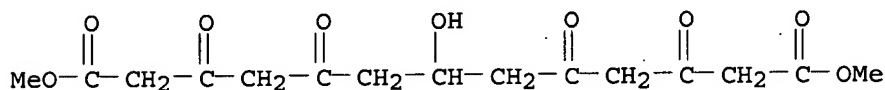
LANGUAGE: Japanese

IT 109873-12-3P 109873-25-8P 109873-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and intramol. cyclization of)

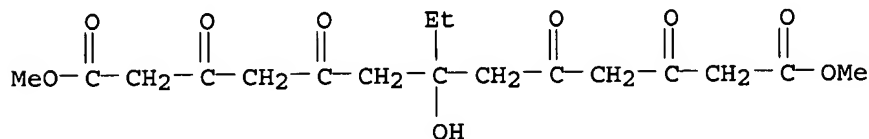
RN 109873-12-3 CAPLUS

CN Tridecanedioic acid, 7-hydroxy-3,5,9,11-tetraoxo-, dimethyl ester (9CI)  
(CA INDEX NAME)



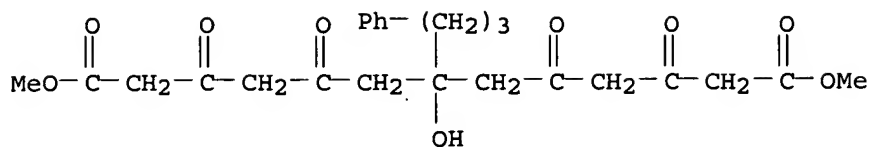
RN 109873-25-8 CAPLUS

CN Tridecanedioic acid, 7-ethyl-7-hydroxy-3,5,9,11-tetraoxo-, dimethyl ester (9CI) (CA INDEX NAME)

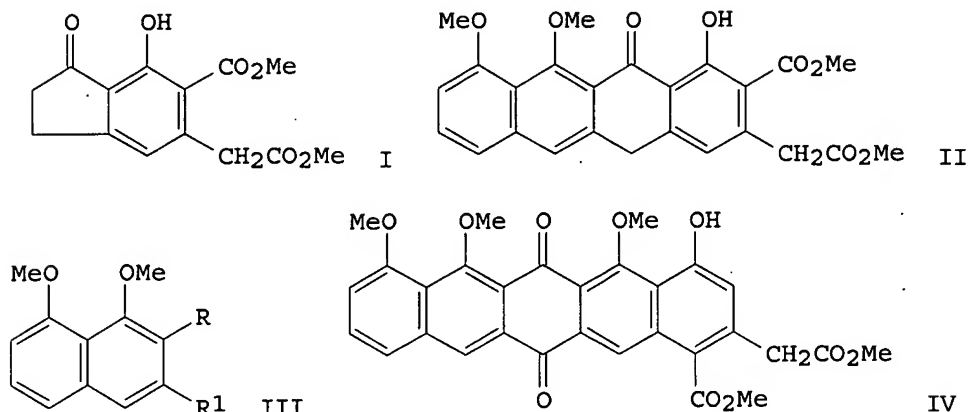


RN 109873-26-9 CAPLUS

CN Tridecanedioic acid, 7-hydroxy-3,5,9,11-tetraoxo-7-(3-phenylpropyl)-, dimethyl ester (9CI) (CA INDEX NAME)



GI



AB A biomimetic synthesis of polyoxygenated polycyclic aromatic compds. via polyketides was examined. Several polyoxoalkanedioates were generated from dicarboxylic acid derivs. and Me acetoacetate dianion. The intramol. condensation of the resulting polyketo esters gave phenolic compds., e.g., indanone I.  $\text{Ca}(\text{OAc})_2$  was one of the best catalysts. Treatment of aromatic glutarates with the dianion followed by  $\text{Ca}(\text{OAc})_2$  afforded polyhydroxy derivs. of anthracene and naphthalene related to the natural products. The arenes were oxidized to the corresponding quinones with  $\text{O}_2$  under basic conditions. The quinones also are aromatic glutarates, and were subjected to further extension of the ring system. Thus, benzanthracene II, prepared from naphthalene III ( $\text{R} = \text{CO}_2\text{Me}$ ,  $\text{R}_1 = \text{CH}_2\text{CO}_2\text{Me}$ ) via polyketide III [ $\text{R} = (\text{COCH}_2)_2\text{CO}_2\text{Me}$ ,  $\text{R}_1 = \text{CH}_2(\text{COCH}_2)_2\text{CO}_2\text{Me}$ ], as outlined above, was converted to dibenzanthracene IV.

L20 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1941:22768. CAPLUS

DOCUMENT NUMBER: 35:22768

ORIGINAL REFERENCE NO.: 35:3608a-g

TITLE: Wound hormones of plants. V. The synthesis of some analogs of traumatic acid

AUTHOR(S): English, James, Jr.

SOURCE: Journal of the American Chemical Society (1941), 63, 941-3

CODEN: JACSAT; ISSN: 0002-7863

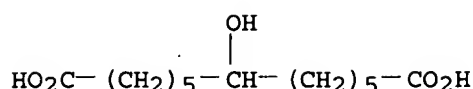
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

IT 855245-29-3, Brassylic acid,  $\zeta$ -hydroxy- (preparation of)

RN 855245-29-3 CAPLUS

CN Brassylic acid,  $\zeta$ -hydroxy- (4CI) (CA INDEX NAME)



AB cf. C. A. 34, 1052.9. The following unsatd. dibasic acids were prepared to test their activity as plant wound hormones. Et sebacate chloride, from the acid ester and  $\text{SOCl}_2$  in 82% yield, b1 129-30°. The aldehyde esters were prepared from the chlorides by Rosemund's method.  $\Delta^1$ -Unsatd. acids were prepared by adding the desired ester to an equivalent amount of  $\text{CH}_2(\text{CO}_2\text{H})_2$  in 2-3 times its weight of  $\text{C}_5\text{H}_5\text{N}$ , allowing the mixture to stand 3-5 days, heating on the steam bath for 3 h., acidifying and extracting with ether; the crude mixture of acid esters is hydrolyzed with

2

N EtOH-NaOH, the acids precipitated with dilute HCl and purified by passing the ether solution through a column packed with an intimate mixture of C and supercel, with final crystallization from dilute EtOH or AcOH; the yields were 30-50%. The  $\Delta^2$ -unsatd. acids were prepared as above but with the use of  $\text{PhNMe}_2$  in place of  $\text{C}_5\text{H}_5\text{N}$ , with sufficient dry MeOH to give a homogeneous reaction mixture; the  $\Delta^2$ -acids were more soluble in ether and less strongly adsorbed on C than the corresponding  $\Delta^1$ -acids. I was also prepared by the method of Bergmann (C. A. 35, 79.7) by using pure azelaic semialdehyde. The following dicarboxylic acids were prepared by these methods:  $\Delta^1$ -nonene-1,9- (I), m. 103°;  $\Delta^2$ -isomer, m. 90°;  $\Delta^1$ -decene-1,10-, m. 165°;  $\Delta^2$ -isomer, m. 109°;  $\Delta^1$ -tridecene-1,13-, m. 108.5°;  $\Delta^2$ -isomer, m. 104°. Heating 40 g. Et  $\alpha, \alpha'$ -dibromosebacate and 30 g.  $\text{PhNMe}_2$  at 180° for 16 h. gives a crude yield of 10 g. of 1,7-octadiene-1,8-dicarboxylic acid, m. 236-9° (decomposition); catalytic reduction of 2 g. with  $\text{PtO}_2$  (addition of 1 mol. of H) gives 0.6 g. of 1-octene-1,8-dicarboxylic acid, m. 173°. Alkylation of  $\text{CO}(\text{CH}_2\text{CO}_2\text{H})_2$  with  $\text{PrCHICO}_2\text{Et}$  according to von Pechman and Sidgwick (Ber. 37, 3816(1904)) (refluxing about 8 h. for each stage), hydrolysis of the material boiling above 150° at 1 mm. by refluxing with 4 times its weight of concentrated HCl for 6-8 h. and crystallization from  $\text{H}_2\text{O}$  or dilute

EtOH give 52% of

6-undecanone-1,11-dicarboxylic acid (II), m. 114°;  
 5-nonanone-1,9-dicarboxylic acid, prepared with  $\text{EtCHICO}_2\text{H}$ , m. 111°.  
 Reduction of II with  $\text{PtO}_2$  and a pressure of 30-40 lb. H in equal vols. of ether and alc. for 2-6 days gives a nearly quant. yield of  
 6-undecanol-1,11-dicarboxylic acid (III), m. 102-3°;  
 5-nonanol-1,9-dicarboxylic acid, m. 95°. Heating III with a slight excess of PI3 on the steam bath for 3 h. and refluxing the crude iodo acid with 25% EtOH-KOH for 3 h. give 5-undecene-1,11-dicarboxylic acid, m. 72°; pure 4-nonene-1,9-dicarboxylic acid could not be prepared by this method. All these acids show wound-hormone activity when tested in the presence of the standard co-factor mixture; in all cases the unsatd. acids are more active than the corresponding saturated compds.

=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
16.17	871.53

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-2.19	-8.03

CA SUBSCRIBER PRICE

10/4743,297

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STRUCTURE FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6  
DICTIONARY FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

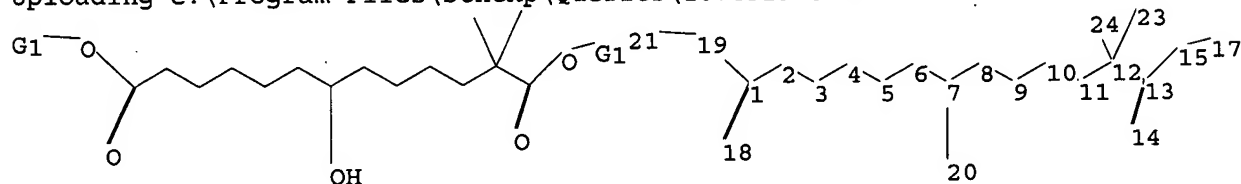
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\107432975.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 17 18 19 20 21 23 24

chain bonds :

1-2 1-18 1-19 2-3 3-4 4-5 5-6 6-7 7-8 7-20 8-9 9-10 10-11 11-12 12-13  
12-23 12-24 13-14 13-15 15-17 19-21

exact/norm bonds :

1-18 1-19 7-20 13-14 13-15 15-17 19-21

exact bonds :

1-2 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13 12-23 12-24

10/4743,297

G1:H,Ak

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS  
19:CLASS 20:CLASS 21:CLASS 23:CLASS 24:CLASS

L21 STRUCTURE UPLOADED

=> s l21

SAMPLE SEARCH INITIATED 17:24:41 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 776 TO ITERATE

100.0% PROCESSED 776 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 13849 TO 17191  
PROJECTED ANSWERS: 0 TO 0

L22 0 SEA SSS SAM L21

=> s l21 ful

FULL SEARCH INITIATED 17:24:51 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 15418 TO ITERATE

100.0% PROCESSED 15418 ITERATIONS 2 ANSWERS  
SEARCH TIME: 00.00.01

L23 2 SEA SSS FUL L21

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	1032.86
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-8.03

FILE 'CAPLUS' ENTERED AT 17:24:57 ON 26 SEP 2005  
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10/4743,297

FILE COVERS 1907 - 26 Sep 2005 VOL 143 ISS 14  
FILE LAST UPDATED: 25 Sep 2005 (20050925/ED)

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This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s l23

L24 1 L23

=> d l24 ibib hitstr abs

L24 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:648491 CAPLUS

DOCUMENT NUMBER: 141:190505

TITLE: Preparation of hydroxyl compounds for cholesterol  
management and related uses

INVENTOR(S): Dasseux, Jean-Louis Henri; Oniciu, Daniela Carmen

PATENT ASSIGNEE(S): Esperion Therapeutics, Inc., USA

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CODEN: PIXXD2

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LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2004067489	A2	20040812	WO 2003-US41411	20031223
WO 2004067489	A3	20041125		
WO 2004067489	C1	20050217		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004209847	A1	20041021	US 2003-743287	20031223
US 2004214887	A1	20041028	US 2003-743109	20031223
US 2005043278	A1	20050224	US 2003-743470	20031223
PRIORITY APPLN. INFO.:			US 2003-441795P	P 20030123

OTHER SOURCE(S): MARPAT 141:190505

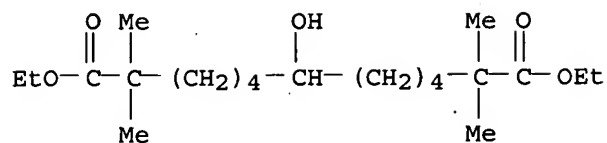
IT 738606-33-2P, 7-Hydroxy-2,2,12,12-tetramethyltridecanedioic acid  
diethyl ester

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)

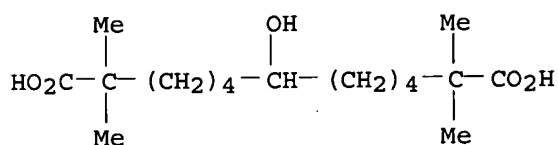
(anticholesteremic agent; preparation of hydroxyalkanes for cholesterol  
management and related uses)

RN 738606-33-2 CAPLUS

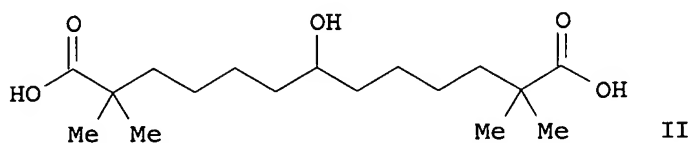
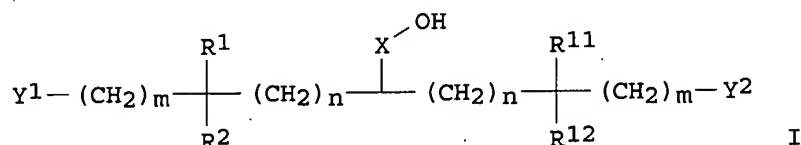
CN Tridecanedioic acid, 7-hydroxy-2,2,12,12-tetramethyl-, diethyl ester (9CI)  
(CA INDEX NAME)



IT 738606-34-3P, 7-Hydroxy-2,2,12,12-tetramethyltridecanedioic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (anticholesteremic agent; preparation of hydroxyalkanes for cholesterol management and related uses)  
 RN 738606-34-3 CAPLUS  
 CN Tridecanedioic acid, 7-hydroxy-2,2,12,12-tetramethyl- (9CI) (CA INDEX NAME)



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AB Title hydroxyalkanes I [wherein m = 0-5; n = 3-7; X = (CH<sub>2</sub>)<sub>p</sub> or CH<sub>2</sub>; p = 0-4; R<sub>1</sub>, R<sub>2</sub>, R<sub>11</sub>, R<sub>12</sub> = independently H, alkyl, alkenyl, alkynyl, Ph, PhCH<sub>2</sub>, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>11</sub>, and R<sub>12</sub> are not simultaneously H; Y<sub>1</sub>, Y<sub>2</sub> = independently alkyl, OH, CO<sub>2</sub>H, CO<sub>2</sub>R<sub>3</sub>, SO<sub>3</sub>H, (un)substituted heterocyclyl, (di)phosphate and triphosphate esters, etc.; R<sub>3</sub> = (un)substituted alkyl, alkenyl, alkynyl, Ph, PhCH<sub>2</sub>; and pharmaceutically acceptable salts, hydrates, solvates, or mixts. thereof] were prepared for cholesterol management. Thus, reduction of 7-oxo-2,2,12,12-tetramethyltridecanedioic acid di-Et ester with Na(BH<sub>4</sub>) in MeOH gave 7-hydroxy-2,2,12,12-tetramethyltridecanedioic acid di-Et ester (92%), which was saponified with KOH in EtOH to afford the diacid II (95%). The latter inhibited lipid synthesis in primary rat hepatocytes with IC<sub>50</sub> of 3.4 μM. In addition, the present invention relates to I, compns. comprising I, and methods useful for treating and preventing a variety of diseases and conditions such as, but not limited to aging, Alzheimer's disease, cancer, cardiovascular disease, diabetic nephropathy, diabetic retinopathy, a disorder of glucose metabolism, dyslipidemia, dyslipoproteinemia,

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hypertension, impotence, inflammation, insulin resistance, lipid elimination in bile, obesity, oxysterol elimination in bile, pancreatitis, Parkinson's disease, a peroxisome proliferator activated receptor-associated disorder, phospholipid elimination in bile, renal disease, septicemia, metabolic syndrome disorders (e.g., Syndrome X), thrombotic disorder. Compds. and methods of the invention can also be used to modulate C reactive protein or enhance bile production in a patient (no data). In certain embodiments, I, compns. comprising I, and methods of the invention are useful in combination therapy with other therapeutics, such as hypocholesterolemic and hypoglycemic agents.

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.39	1038.25

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.73	-8.76

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